



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 140578

To: Devesh Khare
Location: rem/5c35/5c18
Art Unit: 1623
Tuesday, January 04, 2005

Case Serial Number: 10/676782

From: Beverly Shears
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Search Notes

Access DB# 140578

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester=s full Name: Devesh Khare Examiner #: 77931 Date: 12/16/2004
 Art Unit: 1623 Phone Number 272-0653 Serial Number: 10/676,782
 Mail Box: Remsen 5C18 and Bldg/Room Location: 5C35 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be search Include the elected species or structures, key words, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: See Bib Data Sheet on e-

dan.

Inventors (please provide full names): See Bib Data Sheet on e-

dan.

Earliest priority Filing Date: 6/06/2000

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Please carry out a structure search on the attached claim sheet :

Thank you.

STAFF USE ONLY

Searcher: _____
 Searcher Phone #: _____
 Searcher Location: _____
 Date Searcher Picked Up: _____
 Date Completed: _____
 Searcher Prep & Review Time: _____
 Clerical prep time: _____
 Online Time: _____

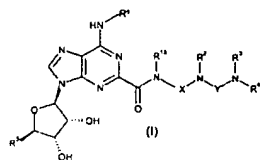
Type of Search
 NA Sequence (#) _____
 AA Sequence (#) _____
 Structure (#) _____
 Bibliographic _____
 Litigation _____
 Fulltext _____
 Patent Family _____
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Vendors and cost where applicable
 STN _____
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 Questel/Orbit _____
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 Sequence Systems _____
 WWW/Internet _____
 Other (specify) _____

PTO-1590 (1-2000)

10/676.782

1. A compound of the formula:



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or a pharmaceutically acceptable salt or solvate thereof, wherein

R¹ is H, C₁-C₆ alkyl or fluorenyl, said C₁-C₆ alkyl being optionally substituted by 1 or 2 substituents each independently selected from phenyl and naphthyl, said phenyl and naphthyl being optionally substituted by C₁-C₆ alkyl, C₁-C₆ alkoxy, halo or cyano;

(A) R² is H or C₁-C₆ alkyl, R¹⁵ is H or C₁-C₆ alkyl, and X is either (i) unbranched C₂-C₃ alkylene optionally substituted by C₁-C₆ alkyl or C₃-C₈ cycloalkyl, or (ii) a group of the formula:



where W is C₅-C₇ cycloalkylene optionally substituted by C₁-C₆ alkyl, n is 0 or 1
20 and p is 0 or 1, or

(B) R¹⁵ is H or C₁-C₆ alkyl, and R² and X, taken together with the nitrogen atom to which they are attached, represent azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl, each being optionally substituted by C₁-C₆ alkyl, or

(C) R² is H or C₁-C₆ alkyl, and R¹³ and X₁ taken together with the nitrogen atom to which they are attached, represent azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl, each being optionally substituted by C₁-C₆ alkyl;

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either, R³ and R⁴, taken together with the nitrogen atom to which they are attached, represent azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperidinyl or homopiperazinyl, each being optionally substituted on a ring nitrogen or carbon atom by C₁-C₈ alkyl or C₃-C₆ cycloalkyl and optionally substituted on a ring carbon atom not adjacent to a ring nitrogen atom by -NR⁵R⁷.

or, R^3 is H, C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl or benzyl and R^4 is

(a) azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl, each being optionally substituted by C₁-C₆ alkyl, C₃-C₆ cycloalkyl, phenyl, benzyl or het, or

(b) $-(C_2-C_6 \text{ alkylene})-R^8$.

(c) $-(C_1-C_8 \text{ alkylene})-R^{13}$, or

(d) C₁-C₈ alkyl or C₃-C₈ cycloalkyl;

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R^5 is CH_2OH or $CONR^{14}R^{14}$;

R⁴ and R⁷ are either each independently H or C₁-C₈ alkyl or, taken together with the nitrogen atom to which they are attached, represent azetidiny, pyrrolidiny or piperidiny, said azetidiny, pyrrolidiny and piperidiny being optionally substituted by C₁-C₈ alkyl;

R⁸ is (i) azetidin-1-yl, pyrrolidin-1-yl, piperidin-1-yl, morpholin-4-yl, piperazin-1-yl, homopiperidin-1-yl, homopiperazin-1-yl or tetrahydroisoquinolin-1-yl, each being optionally substituted on a ring carbon atom by C₁-C₆ alkyl, C₃-C₆ cycloalkyl, phenyl, C₁-C₆ alkoxy-(C₁-C₆) alkyl, R⁹R¹⁰N-(C₁-C₆)-alkyl, fluoro-(C₁-C₆)-alkyl, -CONR⁹R¹⁰, -COOR⁹ or C₂-C₆ alkenyl, and optionally substituted on a